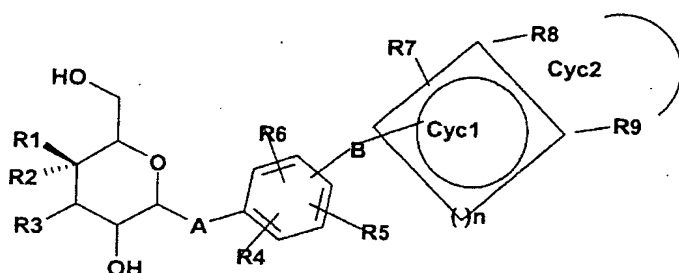


We claim:

1. A compound of formula I



wherein:

R1, R2 are each independently OH, F or H with the proviso that

when R1 is F, R2 cannot be OH;

when R1 is OH, R2 cannot be F; and

when R1 is OH, R2 cannot be OH;

R3 is OH or F,

with the proviso that at least one of said R1, R2, R3 radicals must be F;

A is O, NH, CH₂, S or a bond;

R4, R5, R6 are each independently hydrogen, F, Cl, Br, I, OH, NO₂, CN, COOH,

CO(C₁-C₆)-alkyl, COO(C₁-C₆)-alkyl, CONH₂, CONH(C₁-C₆)-alkyl,

CON[(C₁-C₆)-alkyl]₂, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl,

(C₁-C₆)-alkoxy, HO(C₁-C₆)-alkyl, (C₁-C₆)-alkyl-O-(C₁-C₆)-alkyl, phenyl or benzyl,

wherein said CO(C₁-C₆)-alkyl, COO(C₁-C₆)-alkyl, CONH(C₁-C₆)-alkyl, CON[(C₁-C₆)-alkyl]₂, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₆)-alkoxy, HO(C₁-C₆)-alkyl, (C₁-C₆)-alkyl-O-(C₁-C₆)-alkyl radicals are optionally substituted with one or more fluorine atoms,

SO₂-NH₂, SO₂NH(C₁-C₆)-alkyl, SO₂N[(C₁-C₆)-alkyl]₂, S-(C₁-C₆)-alkyl,

S-(CH₂)_o-phenyl, SO-(C₁-C₆)-alkyl, SO-(CH₂)_o-phenyl, SO₂-(C₁-C₆)-alkyl, SO₂-(CH₂)_o-phenyl,

wherein the phenyl ring of said S-(CH₂)_o-phenyl,

SO-(CH₂)_o-phenyl and SO₂-(CH₂)_o-phenyl radicals may be mono- or disubstituted with F, Cl, Br, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl or NH₂ and wherein o is 0, 1, 2, 3, 4, 5 or 6,

NH₂, NH-(C₁-C₆)-alkyl, N((C₁-C₆)-alkyl)₂, NH(C₁-C₇)-acyl, phenyl,

O-(CH₂)_o-phenyl,

wherein the phenyl ring of said phenyl and O-(CH₂)_o-phenyl radicals may be mono-, di-, or trisubstituted with F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl, NH₂, NH(C₁-C₆)-alkyl, N((C₁-C₆)-alkyl)₂, SO₂-CH₃, COOH, COO-(C₁-C₆)-alkyl or CONH₂ and wherein o is as hereinabove defined;

B is (C₀-C₁₅)-alkanediyl,

wherein one or more carbon atoms in said (C₀-C₁₅)-alkanediyl radical are, independently of one another, optionally replaced by -O-, -(C=O)-, -CH=CH-, -C≡C-, -S-, -CH(OH)-, -CHF-, -CF₂-, -(S=O)-, -(SO₂)-, -N((C₁-C₆)-alkyl)-, -N((C₁-C₆)-alkyl-phenyl)- or -NH-;

n is 0, 1, 2, 3 or 4;

Cyc1 is a 3-, 4-, 5-, 6-, or 7-membered saturated, partially saturated or unsaturated ring, wherein one carbon atom of said ring may be replaced by O, N or S;

R7, R8, R9 R7, R8, and R9 are each independently hydrogen, F, Cl, Br, I, OH, CF₃, NO₂, CN, COOH, COO(C₁-C₆)-alkyl, CO(C₁-C₄)-alkyl, CONH₂, CONH(C₁-C₆)-alkyl, CON[(C₁-C₆)-alkyl]₂, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₈)-alkoxy, HO-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl-O-(C₁-C₆)-alkyl,

wherein said COO(C₁-C₆)-alkyl, CO(C₁-C₄)-alkyl,

CONH(C₁-C₆)-alkyl, CON[(C₁-C₆)-alkyl]₂, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₈)-alkoxy, HO-(C₁-C₆)-alkyl and (C₁-C₆)-alkyl-O-(C₁-C₆)-alkyl radicals are optionally substituted with one or more fluorine atoms,

SO₂-NH₂, SO₂NH(C₁-C₆)-alkyl, SO₂N[(C₁-C₆)-alkyl]₂, S-(C₁-C₆)-alkyl, S-(CH₂)_o-phenyl, SO-(C₁-C₆)-alkyl, SO-(CH₂)_o-phenyl, SO₂-(C₁-C₆)-alkyl, SO₂-(CH₂)_o-phenyl,

wherein said SO₂NH(C₁-C₆)-alkyl, SO₂N[(C₁-C₆)-alkyl]₂, S-(C₁-C₆)-alkyl, SO-(C₁-C₆)-alkyl and SO₂-(C₁-C₆)-alkyl radicals are optionally substituted with one or more fluorine atoms, and wherein the phenyl ring of said S-(CH₂)_o-phenyl, SO-(CH₂)_o-phenyl and SO₂-(CH₂)_o-phenyl radicals is optionally mono- or disubstituted with F, Cl, Br, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl or NH₂, and wherein o is as hereinabove defined,

NH₂, NH-(C₁-C₆)-alkyl, N((C₁-C₆)-alkyl)₂, NH(C₁-C₇)-acyl, phenyl or O-(CH₂)_o-phenyl,

wherein the phenyl ring of said phenyl and O-(CH₂)_o-phenyl radicals is optionally mono-, di-, or trisubstituted with F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, (C₁-C₈)-alkoxy, (C₁-C₆)-alkyl, NH₂, NH(C₁-C₆)-alkyl, N((C₁-C₆)-alkyl)₂, SO₂-CH₃, COOH, COO-(C₁-C₆)-alkyl or CONH₂, and wherein o is as hereinabove defined;

or R₈ and R₉ taken together with the carbon atoms to which they are attached form a 5-, 6- or 7- membered, saturated, partially saturated or unsaturated ring herein referred to as Cyc2,

wherein one or two carbon atom(s) in said Cyc2 ring are optionally replaced by N, O or S, and wherein said Cyc2 ring is optionally substituted with (C₁-C₆)-alkyl, (C₂-C₅)-alkenyl or (C₂-C₅)-alkynyl,

wherein said (C₁-C₆)-alkyl, (C₂-C₅)-alkenyl and (C₂-C₅)-alkynyl radicals are optionally substituted with F, Cl, OH, CF₃, NO₂, CN, COO(C₁-C₄)-alkyl, CONH₂, CONH(C₁-C₄)-alkyl or OCF₃,

and wherein a -CH₂- group contained in said (C₁-C₆)-alkyl, (C₂-C₅)-alkenyl and (C₂-C₅)-alkynyl radicals is optionally replaced by -O-;

and pharmaceutically acceptable salts thereof.

2. The compound of Claim 1 wherein:

5 R1 and R2 are each independently OH, F or H,
with the proviso that at least one of said radicals R1 and R2 must be F
and with the further proviso that
when R1 is F, R2 is not OH,
10 when R1 is OH, R2 is not F, and
when R1 is OH, R2 is not OH;

R3 is OH;

15 A is O or NH;

R4, R5, R6 are each independently hydrogen, F, Cl, Br, I, OH, NO₂, CN, COOH,
CO(C₁-C₆)-alkyl, COO(C₁-C₆)-alkyl, CONH₂, CONH(C₁-C₆)-alkyl,
CON[(C₁-C₆)-alkyl]₂, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl,
20 (C₁-C₆)-alkoxy, HO(C₁-C₆)-alkyl, (C₁-C₆)-alkyl-O-(C₁-C₆)-alkyl, phenyl,
benzyl or SO-(C₁-C₆)-alkyl,

wherein said CO(C₁-C₆)-alkyl, COO(C₁-C₆)-alkyl, CONH(C₁-C₆)-
alkyl, CON[(C₁-C₆)-alkyl]₂, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-
alkynyl, (C₁-C₆)-alkoxy, HO(C₁-C₆)-alkyl, (C₁-C₆)-alkyl-O-(C₁-C₆)-
25 alkyl and SO-(C₁-C₆)-alkyl radicals are optionally substituted
with one or more fluorine atoms,

B is (C₀-C₁₅)-alkanediyl, wherein one or more of the carbon atoms in said
alkanediyl radical may be replaced, independently of one another, with
-O-, -(C=O)-, -CH=CH-, -C≡C-, -S-, -CH(OH)-, -CHF-, -CF₂-, -(S=O)-,
30 -(SO₂)-, -N((C₁-C₆)-alkyl)-, -N((C₁-C₆)-alkyl-phenyl)- or -NH-;

n is 0, 1, 2, 3 or 4;

Cyc1 is a 3-, 4-, 5-, 6- or 7-membered saturated, partially saturated or
35 unsaturated ring, wherein one carbon atom of said ring may be
replaced by O, N or S;

R7, R8, and R9 are each independently hydrogen, F, Cl, Br, I, OH, CF₃, NO₂, CN, COOH, COO(C₁-C₆)-alkyl, CO(C₁-C₄)-alkyl, CONH₂, CONH(C₁-C₆)-alkyl, CON[(C₁-C₆)-alkyl]₂, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₈)-alkoxy, HO-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl-O-(C₁-C₆)-alkyl or SO-(C₁-C₆)-alkyl,

wherein said COO(C₁-C₆)-alkyl, CO(C₁-C₄)-alkyl, CONH(C₁-C₆)-alkyl, CON[(C₁-C₆)-alkyl]₂, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₈)-alkoxy, HO-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl-O-(C₁-C₆)-alkyl and SO-(C₁-C₆)-alkyl radicals are optionally substituted with one or more fluorine atoms,

or R8 and R9 taken together with the carbon atoms to which they are attached form a 5-, 6- or 7- membered, saturated, partially saturated or unsaturated ring herein referred to as Cyc2,

wherein one or two carbon atom(s) in said Cyc2 ring are optionally replaced by N, O or S, and wherein said Cyc2 ring is optionally substituted with (C₁-C₆)-alkyl, (C₂-C₅)-alkenyl or (C₂-C₅)-alkynyl,

wherein said (C₁-C₆)-alkyl, (C₂-C₅)-alkenyl and (C₂-C₅)-alkynyl radicals are optionally substituted with F, Cl, OH, CF₃, NO₂, CN, COO(C₁-C₄)-alkyl, CONH₂, CONH(C₁-C₄)-alkyl or OCF₃,

and wherein a -CH₂- group contained in said (C₁-C₆)-alkyl, (C₂-C₅)-alkenyl and (C₂-C₅)-alkynyl radicals is optionally replaced by -O-.

3. The compound of Claim 1 wherein the sugar residues are beta(β)-linked and the stereochemistry in the 2, 3 and 5 position of the sugar residue has the D-glucose configuration.

4. The compound of Claim 1 wherein:

R1 and R2 are each independently OH, F or H, with the proviso that at least one of said radicals R1 and R2 must be F and with the further proviso that when R1 is F, R2 is not OH, when R1 is OH, R2 is not F, and when R1 is OH, R2 is not OH,

R3 is OH;

A is O;

R4, R5, R6 are each independently hydrogen, F, Cl, Br, I, OH, NO₂, CN, COOH, CF₃, OCF₃, OCH₂CF₃, (C₁-C₄)alkyl-CF₂-, COO(C₁-C₆)-alkyl, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₆)-alkoxy, HO(C₁-C₆)-alkyl, (C₁-C₆)-alkyl-O-(C₁-C₆)-alkyl, phenyl or benzyl,

B is (C₁-C₄)-alkanediyl, wherein one or more of the carbon atoms in said alkanediyl radical may be replaced, independently of one another, with -O-, -(C=O)-, -CH(OH)-, -CHF-, -CF₂-, -CO-N(C₁-C₆)-alkyl-, -CO-NH- or -NH-;

n is 2 or 3;

Cyc1 is an unsaturated 5- or 6-membered ring, wherein one carbon atom of said ring may be replaced by O, N or S;

R7, R8, and R9 are each independently hydrogen, F, Cl, Br, OH, (C₁-C₆)-alkyl, (C₁-C₈)-alkoxy, HO-(C₁-C₆)-alkyl or (C₁-C₆)-alkyl-O-(C₁-C₆)-alkyl,

or R8 and R9 taken together form the radicals -CH=CH-O-, -CH₂-CH₂-O-, -CH=CH-S-, -CH=CH-CH=CH-, -O-(CH₂)_p-O- wherein p is 1 or 2

and with the carbon atoms to which said radicals are attached form a 5- or 6-membered, saturated, partially saturated or completely unsaturated ring and, in such instance, R7 is preferably methyl, ethyl, OMe, F, Cl, Br or H.

5. The compound of Claim 1 wherein:

R1 is F and R2 is H;

R1 is H and R2 is F; or

R1 is F and R2 is F

R3 is OH;

A is O;

R4, R5, R6 are each independently hydrogen, OH, (C₁-C₄)-alkoxy, CF₃, (C₁-C₄)-alkyl, F, Cl, Br or I

B is -CH₂-, -C₂H₄-, -C₃H₆-, -CH(OH)-, -(C=O)-, -CO-NH-CH₂-, -CO-CH₂-CH₂-, -O- or -NH-;

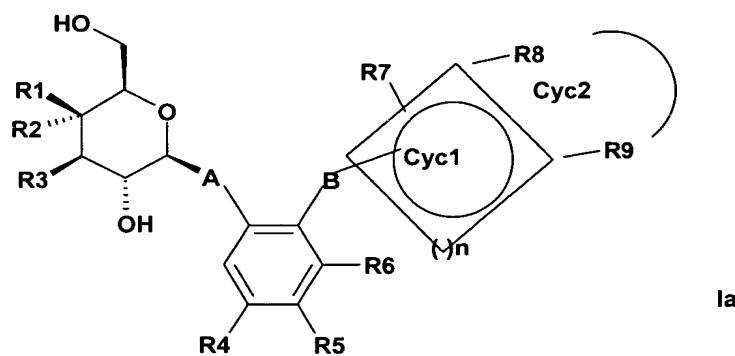
n is 2 or 3;

Cyc1 is an unsaturated 6-membered ring, wherein one carbon atom of said 6-membered ring may be replaced by N, or an unsaturated 5-membered ring, wherein one carbon atom of said 5-membered ring may be replaced by S;

R7, R8, R9 are each independently hydrogen, OH, (C₁-C₄)-alkyl, (C₁-C₇)-alkoxy, OCF₃ or halogen or

R8 and R9 taken together form the radicals -CH=CH-O-, -CH₂-CH₂-O-, -CH=CH-CH=CH- or -O-(CH₂)_p-O- wherein p is 1 or 2, and, with the carbon atoms to which they are attached, form a 5- or 6-membered ring, and, in such instance, R7 is preferably methyl, ethyl, methoxy, F, Cl, Br or hydrogen.

6. A compound of the formula Ia



wherein

5

R1 is F and R2 is H;
 R1 is H and R2 is F; or
 R1 is F and R2 is F;

10

R3 is OH;

A is O;

15

R4 is hydrogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy or OH;

R5 is hydrogen, F, methoxy or ethoxy;

R6 is hydrogen or OH;

20

B is -CH₂-, -CO-NH-CH₂-, -O- or -CO-CH₂-CH₂-;

Cyc1 is phenyl or thiophene;

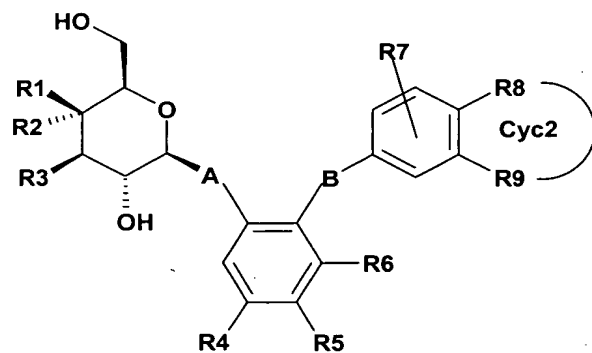
25

R7, R8, R9 are hydrogen, OH, Cl, OCF₃, (C₁-C₄)-alkyl or (C₁-C₄)-alkoxy; or

R8 and R9 taken together form -CH=CH-O-, -CH=CH-CH=CH- or -CH₂-CH₂-O- and, with the carbon atoms to which they are attached, form a 5- or 6-membered ring, and, in such instance, R7 is preferably

hydrogen.

7. A compound of the formula Ib



Ib

wherein

- | | | |
|----|------|---|
| 10 | R1 | is F and R2 is H; |
| | R1 | is H and R2 is F; or |
| | R1 | is F and R2 is F; |
| | R3 | is OH; |
| 15 | A | is O; |
| | R4 | is hydrogen, methyl, methoxy or OH; |
| 20 | R5 | is hydrogen, F or methoxy; |
| | R6 | is hydrogen or OH; |
| | B | is -CH ₂ -, -CO-NH-CH ₂ -, -O- or -CO-CH ₂ -CH ₂ -; |
| 25 | Cyc1 | is phenyl; |
| | R7 | is hydrogen; |

R8 is hydrogen, OH, ethyl, Cl, OCF₃ or methoxy;

R9 is hydrogen; or

R8 and R9 taken together form -CH=CH-O- or -CH₂-CH₂-O-, and, with the carbon atoms to which they are attached form a 5-membered ring.

8. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

9. A pharmaceutical composition comprising a compound of Claim 1 and one or more blood glucose-lowering active ingredients.

10. A method of treating type 1 or type 2 diabetes which comprises administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

11. A method of lowering blood glucose which comprises administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

12. A method of treating type 1 or type 2 diabetes which comprises administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1 with at least one other blood glucose-lowering active ingredient.

13. A method of lowering blood glucose which comprises administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1 with at least one other blood glucose-lowering active ingredient.